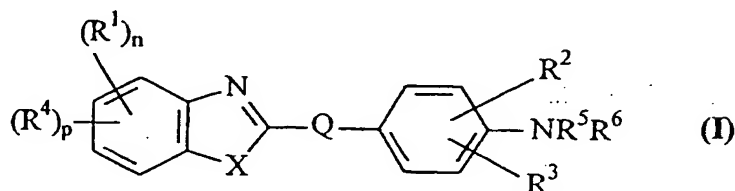


CLAIMS

1. An arylbenzazole compound represented by the structural formula I below, or a pharmaceutically acceptable salt thereof,



wherein

- 5 X represents S or O;
- R^1 is selected from halogen, CF_3 and trimethyltin;
- R^2 represents hydrogen, NO_2 , N_3 , halogen, alkyl, a halo substituted or hydroxy substituted alkyl, CN or CF_3 ;
- R^3 represents hydrogen, halogen, alkyl, or a halo substituted or hydroxy substituted alkyl;
- 10 R^4 represents alkyl, a halo substituted or hydroxy substituted alkyl, hydroxyl, alkoxy or aralkoxy;
- R^5 and R^6 each independently represent hydrogen, an amino acid, an alkyl, or a group



wherein Y represents O or S, and R^7 represents alkyl or $-CH(R^8)NH_2$ where R^8 represents hydrogen, or an optionally substituted alkyl;

- 20 Q represents a direct bond, $-CH_2-$ or $-CH=CH-$;
- p represents zero, 1 or 2; and
- n represents zero, 1, 2 or 3;
- subject to the following provisos:

- (a) alkyl or substituted alkyl groups are linear, branched or cyclic structures but when present as linear or branched structures in the
- 25

compound or as a moiety in another group such as alkoxy they are composed of less than ten carbon atoms;

(b) p represents zero or 1 when n represents 3;

(c) when n represents zero, R⁵ or R⁶ represents -C(Y)-CH(R⁸)NH₂;

5 (d) where a group is optionally substituted, unless otherwise specified the or each substituent is selected from halogen, OH, SH, NH₂, COOH and CONH₂;

2. An arylbenzazole compound as claimed in Claim 1 further characterised by at least one of the following features:

10 (a) Alkyl groups when present as such or as a moiety in other groups such as alkoxy each contain less than six carbon atoms.

(b) at least some alkyl groups when present as such or as a moiety in other groups such as alkoxy are methyl or ethyl;

15 (c) halogen substituents, when present, are selected from fluorine, iodine, bromine and chlorine.

3. An arylbenzazole compound as claimed in Claim 2 wherein there is a fluorine halogen substituent.

4. An arylbenzazole compound as claimed in Claim 3 wherein the compound incorporates the isotope ¹⁸F.

20 5. An arylbenzazole compound as claimed in Claim 1 or 2 wherein R¹ is fluorine.

6. An arylbenzazole compound as claimed in any of the preceding claims wherein R¹ is in the 5-position of the benzazole moiety.

7. An arylbenzazole compound as claimed in any of the preceding claims wherein R^2 is a substituent in the 3' position of the phenyl group.
8. An arylbenzazole compound as claimed in any of the preceding claims further characterised in that X is sulphur.
- 5 9. An arylbenzazole compound as claimed in any of the preceding claims wherein one of R^5 and R^6 is $C(Y)-CH(R^8)NH_2$ (or a salt thereof) as defined in Claim 1, and the other is hydrogen.
- 10 10. An arylbenzazole compound as claimed in any of the preceding claims further characterised in that Y is O and R^8 is selected from: hydrogen, $-CH_3$, $-(CH_2)_4NH_2$ and $-CH_2OH$.
11. An arylbenzazole compound as claimed in Claim 1 or 2 wherein $p = 0$, R^5 and R^6 are both hydrogen, and the combination of substituents R^3 , X and R^2 is selected from one of the following combinations:

<u>R^3</u>	<u>X</u>	<u>R^2</u>
H	S	3'-Me
H	S	3'-Et
H	O	3'-I
H	S	3'-Br
H	S	3'-Cl
H	S	3'-CN
5'-Br	S	3'-Br
5'-Cl	S	3'-Cl
5'-Me	S	3'-Cl
H	S	3'-F

12. An arylbenzazole compound as claimed in Claim 1 or 2 wherein $p = 0$, X represents S, wherein R^3 , R^5 and R^6 each represent H, wherein Q represents a direct bond and wherein n, R^1 and R^2 represent one of the following combinations:

5

<u>N</u>	<u>R¹</u>	<u>R²</u>
1	4-F	3-CH ₃
1	6-F	3-CH ₃
1	4-F	H
1	6-F	H
2	4,5-diF	3-CH ₃
2	4,6-diF	3-CH ₃
2	5,7-diF	3-CH ₃
1	7-F	3-CH ₃
2	5,6-diF	3-CH ₃
2	6,7-diF	3-CH ₃
1	5-F	3-CH ₃
1	5-F	H
1	4-F	3-I
1	5-F	3-I
1	6-F	3-I
1	4-F	3-Cl
1	5-F	3-Cl
1	6-F	3-Cl
1	4-F	3-Br
1	5-F	3-Br
1	6-F	3-Br

13. An arylbenzazole compound as claimed in Claim 1 or 2 wherein $p = 0$, X represents S, Q represents a direct bond, one of R^5 and R^6 represents H and the other represents $-C(O)CH(R^8)NH_2$, and wherein R^3 represents H, and n, R^1 , R^2 and R^8 represent one of the following combinations.

<u>N</u>	<u>R¹</u>	<u>R²</u>	<u>R⁸</u>
Zero	-	H	-CH ₃
Zero	-	3-CH ₃	-CH ₃
Zero	-	3-Cl	-CH ₃
Zero	-	H	-(CH ₂) ₄ NH ₂
Zero	-	3-CH ₃	-(CH ₂) ₄ NH ₂
Zero	-	3-Cl	-(CH ₂) ₄ NH ₂
Zero	-	3-CH ₃	-CH ₂ OH
1	6-F	3-CH ₃	-CH ₃
1	5-F	3-CH ₃	-(CH ₂) ₄ NH ₂
1	6-F	3-CH ₃	-(CH ₂) ₄ NH ₂
1	5-F	3-CH ₃	-CH ₃
1	5-F	3-CH ₃	H

5 14. An arylbenzazole compound which is one of the following:

4-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

6-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

4-Fluoro-2-(4'-aminophenyl)benzothiazole;

6-Fluoro-2-(4'-aminophenyl)benzothiazole;

10 4,5-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

4,6-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

5,7-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

7-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

5,6-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

15 6,7-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;

- 5-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole;
 5-Fluoro-2-(4'-aminophenyl)benzothiazole;
 4-Fluoro-2-(4'-amino-3'-iodophenyl)benzothiazole;
 5-Fluoro-2-(4'-amino-3'-iodophenyl)benzothiazole;
 5 6-Fluoro-2-(4'-amino-3'-iodophenyl)benzothiazole;
 4-Fluoro-2-(4'-amino-3'-chlorophenyl)benzothiazole;
 5-Fluoro-2-(4'-amino-3'-chlorophenyl)benzothiazole;
 6-Fluoro-2-(4'-amino-3'-chlorophenyl)benzothiazole;
 4-Fluoro-2-(4'-amino-3'-bromophenyl)benzothiazole;
 10 5-Fluoro-2-(4'-amino-3'-bromophenyl)benzothiazole;
 6-Fluoro-2-(4'-amino-3'-bromophenyl)benzothiazole;
 2-(4'-Aminophenyl)benzothiazole alanyl amide hydrochloride salt;
 2-(4'-Amino-3'-methylphenyl)benzothiazole alanyl amide hydrochloride
 salt;
 15 2-(4'-Amino-3'-chlorophenyl)benzothiazole alanyl amide hydrochloride
 salt;
 2-(4'-Aminophenyl)benzothiazole lysyl amide dihydrochloride salt;
 2-(4'-Amino-3'-methylphenyl)benzothiazole lysyl amide dihydrochloride
 salt;
 20 2-(4'-Amino-3'-chlorophenyl)benzothiazole lysyl amide dihydrochloride
 salt;
 2-(4'-Amino-3'-methylphenyl)benzothiazole serine hydrochloride salt;
 6-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole alanyl amide
 hydrochloride salt;
 25 5-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole lysyl amide
 dihydrochloride salt;
 6-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole lysyl amide
 dihydrochloride salt;

- 5-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole alanyl amide
hydrochloride salt;
- 5-Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole glycyl amide
hydrochloride salt;
- 5 5-Bromo-2-(4'-amino-3'-methylphenyl)benzothiazole;
- 5-Iodo-2-(4'-amino-3'-methylphenyl)benzothiazole;
- 7-Iodo-2-(4'-amino-3'-methylphenyl)benzothiazole;
- 5-Fluoro-2-(4'-acetamido-3'-methylphenyl)benzothiazole;
- 5-Fluoro-2-(4'-amino-3'-cyanophenyl)benzothiazole;
- 10 4-Fluoro-2-(4'-amino-3'-cyanophenyl)benzothiazole;
- 6-Fluoro-2-(4'-amino-3'-cyanophenyl)benzothiazole;
- 5-Fluoro-2-(4'-amino-3'-(hydroxymethyl)phenyl)benzothiazole;
- 5,6-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole alanyl amide
hydrochloride salt;
- 15 5,6-Difluoro-2-(4'-amino-3'-methylphenyl)benzothiazole lysyl amide
dihydrochloride salt; and
- 5-Trimethylstannyl-2-(4'-amino-3'-methylphenyl)benzothiazole.

15. An arylbenzazole compound as claimed in any of the preceding claims
for use in therapy as an active therapeutic substance characterised in that it is an
20 acid addition salt derived from an acid selected from the group consisting of:
hydrochloric, hydrobromic, sulphuric, nitric, phosphoric, maleic,
salicylic, p-toluenesulphonic, tartaric, citric, lactobionic, formic,
malonic, pantothenic, succinic, naphthalene-2-sulphonic,
benzenesulphonic, methanesulphonic and ethanesulphonic.
- 25 16. A compound as claimed in any one of Claims/1 to 15 for use in therapy.
17. A isotopically labelled arylbenzazole compound selected from the group
consisting of 5-¹⁸F-fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole and 6-

¹⁸Fluoro-2-(4'-amino-3'-methylphenyl)benzothiazole.

18. A pharmaceutical formulation for medical use comprising, as the active compound, a compound as claimed in any one of Claims 1 to 17 together with a pharmaceutically acceptable carrier therefor.
- 5 19. A medical preparation containing a therapeutically effective non-toxic amount of a compound as claimed in any one of Claims 1 to 16 and a pharmaceutically inert excipient.
- 10 20. A pharmaceutical preparation in unit dosage unit form for administration to obtain a therapeutic effect as an antitumour agent in treating mammals, said preparation comprising, per dosage unit, a therapeutically-effective non-toxic amount of a compound as set forth in any one of Claims 1 to 16.
21. Use of a compound as claimed in any one of Claims 1 to 17 for the manufacture of a medical preparation for the treatment of tumours in mammals.
- 15 22. Use as claimed in Claim 21 wherein the medical preparation is for inhibiting the growth or proliferation of cancer cells.
23. A method of treating a mammal suffering from cancer so as to inhibit or reduce cancer cell growth, said method comprising administering to said mammal an effective amount of an antitumour composition wherein the active component is a benzazole compound as claimed in any one of Claims 1 to 16.
- 20 24. A method for the preparation of a compound as claimed in Claim 1 substantially as herein described with reference to Examples 1 to 45.
- 25 25. A method as claimed in Claim 24 wherein the compound is an amino acid amide prodrug which is prepared from the corresponding substituted benzothiazole by a method substantially as herein described under the heading "Route E".